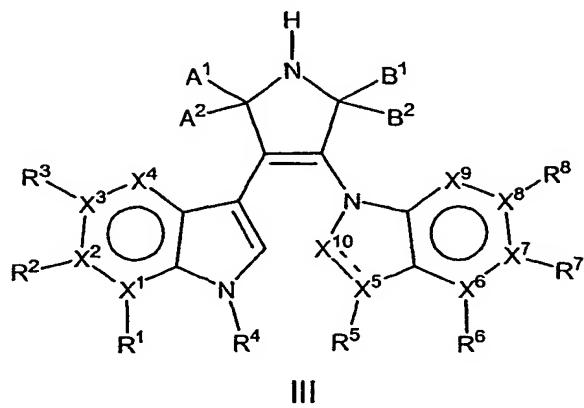


Claims

1. A pharmaceutically active compound represented by formula III;



5 or a pharmaceutically acceptable salt thereof wherein:

$X^1 - X^3$ are independently C or N;

X^4 is CH or N, wherein not more than two of $X^1 - X^4$ is N;

when X^5 is N, R^5 is a lone pair, X^{10} is CH and the bond between X^5 and X^{10} is a double bond;

10 when X^5 is CH, R^5 is H, X^{10} is CH_2 and the bond between X^5 and X^{10} is a single bond;

when X^5 is C, R^5 may be defined as below, X^{10} is CH and the bond between X^5 and X^{10} is a double bond;

$X^6 - X^8$ are independently C or N;

15 X^9 is CH or N, wherein not more than two of $X^6 - X^9$ is N;

$R^1 - R^3$ and $R^6 - R^8$ represent a lone pair or O when each respective $X^1 - X^3$ and $X^6 - X^8$ is N; and

when $X^1 - X^3$ or $X^6 - X^8$ is C, each respective $R^1 - R^3$ and $R^6 - R^8$ is independently selected from the group consisting of:

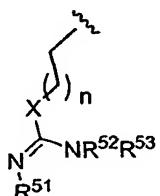
20 a) H, substituted or unsubstituted C(1-8) alkyl, halogen, azido, cyano, nitro, or $NR^{21}R^{22}$, wherein R^{21} represents H or C(1-8) alkyl, and R^{22} represents H, substituted or unsubstituted C(1-8) alkylcarbonyl, substituted or unsubstituted arylcarbonyl, heterocycle, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted C(1-8) alkylaminocarbonyl, substituted or unsubstituted arylaminocarbonyl;

25

- b) OR^{23} , wherein R^{23} is H, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl;
- c) SR^{23} , wherein R^{23} is defined as in b);
- d) $\text{O}(\text{CH}_2)_j\text{-R}^{24}$, $\text{O}(\text{CH}_2)_j\text{-O-R}^{24}$, or $\text{O}(\text{CH}_2)_j\text{-S-R}^{24}$, wherein j is an integer from 1 to 8, and R^{24} is selected from the group consisting of H, substituted or unsubstituted C(1-8) alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl;
- e) $\text{S}(\text{CH}_2)_j\text{R}^{24}$, $\text{S}(\text{CH}_2)_j\text{-O-R}^{24}$, or $\text{S}(\text{CH}_2)_j\text{-S-R}^{24}$, wherein j and R^{24} are defined as in d);
- f) $\text{C}\equiv\text{C-R}^{25}$, $\text{C}\equiv\text{C-OR}^{25}$, or $\text{C}\equiv\text{C-CO}_2\text{R}^{25}$, wherein R^{25} is H, substituted or unsubstituted C(1-8) alkyl, aryl, substituted aryl, heteroaryl, or substituted heteroaryl;
- g) CH=CH-R^{25} , CH=CH-OR^{25} , or $\text{CH=CH-CO}_2\text{R}^{25}$, having a stereochemistry of E or Z, and R^{25} is defined as in f);
- h) $\text{C}\equiv\text{C-NR}^{25}\text{R}^{26}$ or $\text{C}\equiv\text{CCONR}^{25}\text{R}^{26}$, wherein R^{25} is defined as in f), and R^{26} is defined as R^{25} , and R^{25} and R^{26} are selected independently;
- i) $\text{CH=CH-NR}^{25}\text{R}^{26}$ or $\text{CH=CHCONR}^{25}\text{R}^{26}$, having a stereochemistry of E or Z, wherein R^{25} and R^{26} are independently defined as in h);
- j) $(\text{CH}_2)_k\text{R}^{25}$, $(\text{CH}_2)_k\text{-COOR}^{25}$, or $(\text{CH}_2)_k\text{-OR}^{25}$, wherein k is an integer from 2 to 6 and R^{25} is defined as in f);
- k) $(\text{CH}_2)_k\text{NR}^{25}\text{R}^{26}$, $(\text{CH}_2)_k\text{CONR}^{25}\text{R}^{26}$, wherein R^{25} and R^{26} are selected independently, and R^{25} and R^{26} are defined as R^{25} in f);
- l) $\text{CH}_2\text{XR}^{27}$, wherein X is O or S and R^{27} is H, substituted or unsubstituted C(1-8) alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl;

R^4 is selected from the group consisting of:

- m) H, substituted or unsubstituted C(1-8) alkyl;
- n)



wherein $\text{X}=\text{O}$, S , or NH , $n=1$ to 4, and R^{51} is H, R^{52} and R^{53} are independently chosen from the group consisting of H, alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or R^{51} and R^{52} are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system;

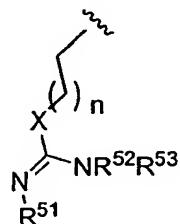
R^5 is selected from the group consisting of:

o) a lone pair when X^5 is N;

and when X^5 is C, R^5 is selected from the group consisting of:

p) H, substituted and unsubstituted C(1-8) alkyl:); or

q)

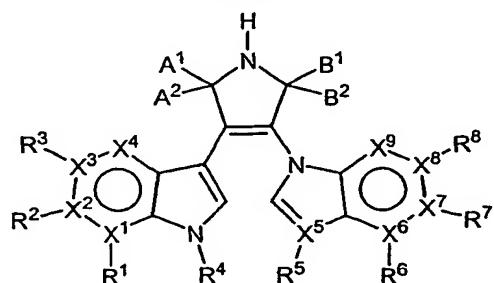


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wherein X=O, S, or NH, n=1 to 4 and R^{51} is H, R^{52} and R^{53} are independently chosen from the group consisting of H, substituted or unsubstituted C(1-8) alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or R^{51} and R^{52} are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system; or

10 when A^1 and A^2 , and B^1 and B^2 , respectively combine to form oxygen, R^1-R^3 and R^5-R^8 are H, and R^4 is H or CH₃, at least one of X^1-X^9 represents a ring member other than carbon.

2. A pharmaceutically active compound represented by formula I;



15

or a pharmaceutically acceptable salt thereof wherein:

X^1-X^3 are independently C or N;

X^4 is CH or N, wherein not more than two of X^1-X^4 is N;

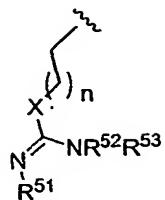
X^6-X^8 are independently C or N;

20 X^9 is CH or N, wherein not more than two of X^6-X^9 is N;

R^1-R^3 and R^6-R^8 represent a lone pair or O when each respective X^1-X^3 and X^6-X^8 is N; and

when $X^1 - X^3$ or $X^6 - X^8$ is C, each respective $R^1 - R^3$ and $R^6 - R^8$ is independently selected from the group consisting of:

- a) H, substituted or unsubstituted C(1-8) alkyl, halogen, azido, cyano, nitro, or $NR^{21}R^{22}$, wherein R^{21} represents H or C(1-8) alkyl, and R^{22} represents H, substituted or unsubstituted C(1-8) alkylcarbonyl, substituted or unsubstituted arylcarbonyl, heterocycle, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted C(1-8) alkylaminocarbonyl, substituted or unsubstituted arylaminocarbonyl;
- b) OR^{23} , wherein R^{23} is H, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl;
- c) SR^{23} , wherein R^{23} is defined as in b);
- d) $O(CH_2)_j-R^{24}$, $O(CH_2)_j-O-R^{24}$, or $O(CH_2)_j-S-R^{24}$, wherein j is an integer from 1 to 8, and R^{24} is selected from the group consisting of H, substituted or unsubstituted C(1-8) alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl;
- e) $S(CH_2)_jR^{24}$, $S(CH_2)_j-O-R^{24}$, or $S(CH_2)_j-S-R^{24}$, wherein j and R^{24} are defined as in d);
- f) $C\equiv C-R^{25}$, $C\equiv C-OR^{25}$, or $C\equiv C-CO_2R^{25}$, wherein R^{25} is H, substituted or unsubstituted C(1-8) alkyl, aryl, substituted aryl, heteroaryl, or substituted heteroaryl;
- g) $CH=CH-R^{25}$, $CH=CH-OR^{25}$, or $CH=CH-CO_2R^{25}$, having a stereochemistry of E or Z, and R^{25} is defined as in f);
- h) $C\equiv C-NR^{25}R^{26}$ or $C\equiv CCONR^{25}R^{26}$, wherein R^{25} is defined as in f), and R^{26} is defined as R^{25} , and R^{25} and R^{26} are selected independently;
- i) $CH=CH-NR^{25}R^{26}$ or $CH=CHCONR^{25}R^{26}$, having a stereochemistry of E or Z, wherein R^{25} and R^{26} are independently defined as in h);
- j) $(CH_2)_kR^{25}$, $(CH_2)_k-COOR^{25}$, or $(CH_2)_k-OR^{25}$, wherein k is an integer from 2 to 6 and R^{25} is defined as in f);
- k) $(CH_2)_kNR^{25}R^{26}$, $(CH_2)_kCONR^{25}R^{26}$, wherein R^{25} and R^{26} are selected independently, and R^{25} and R^{26} are defined as R^{25} in f);
- l) CH_2XR^{27} , wherein X is O or S and R^{27} is H, substituted or unsubstituted C(1-8) alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl;
- 30 R⁴ is selected from the group consisting of:
- m) H, substituted or unsubstituted C(1-8) alkyl;
- n)



wherein X=O, S, or NH, n=1 to 4, and R⁵¹ is H, R⁵² and R⁵³ are independently chosen from the group consisting of H, alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted

5 heteroalkyl, heteroaryl, or substituted heteroaryl ring system;

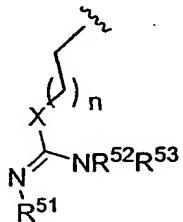
R⁵ is selected from the group consisting of:

o) a lone pair when X⁵ is N;

and when X⁵ is C, R⁵ is selected from the group consisting of:

p) H, substituted and unsubstituted C(1-8) alkyl; or

10 q)

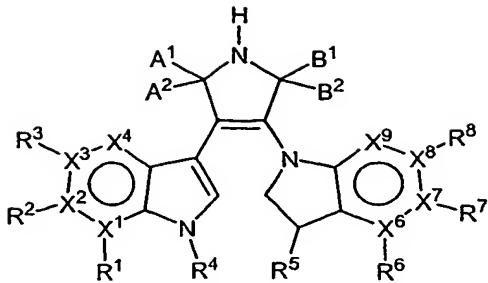


wherein X=O, S, or NH, n=1 to 4 and R⁵¹ is H, R⁵² and R⁵³ are independently chosen from the group consisting of H, substituted or unsubstituted C(1-8) alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl,

15 substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system; or

wherein in formula I, when A¹ and A², and B¹ and B², respectively combine to form oxygen, R¹-R³ and R⁵-R⁸ are H, and R⁴ is H or CH₃, at least one of X¹ - X⁹ represents a ring member other than carbon.

20 3. A pharmaceutically active compound represented by formula II;



II

or a pharmaceutically acceptable salt thereof wherein:

$X^1 - X^3$ are independently C or N;

X^4 is CH or N, wherein not more than two of $X^1 - X^4$ is N;

5 $X^6 - X^8$ are independently C or N;

X^9 is CH or N, wherein not more than two of $X^6 - X^9$ is N;

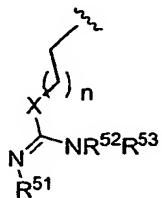
R^1-R^3 and R^6-R^8 represent a lone pair or O when each respective X^1-X^3 and X^6-X^8 is N; and

when $X^1 - X^3$ or $X^6 - X^8$ is C, each respective $R^1 - R^3$ and $R^6 - R^8$ is independently

10 selected from the group consisting of:

- a) H, substituted or unsubstituted C(1-8) alkyl, halogen, azido, cyano, nitro, or $NR^{21}R^{22}$, wherein R^{21} represents H or C(1-8) alkyl, and R^{22} represents H, substituted or unsubstituted C(1-8) alkylcarbonyl, substituted or unsubstituted arylcarbonyl, heterocycle, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted C(1-8) alkylaminocarbonyl, substituted or unsubstituted arylaminocarbonyl;
- b) OR^{23} , wherein R^{23} is H, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl;
- c) SR^{23} , wherein R^{23} is defined as in b);
- 20 d) $O(CH_2)_j-R^{24}$, $O(CH_2)_j-O-R^{24}$, or $O(CH_2)_j-S-R^{24}$, wherein j is an integer from 1 to 8, and R^{24} is selected from the group consisting of H, substituted or unsubstituted C(1-8) alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl;
- e) $S(CH_2)_jR^{24}$, $S(CH_2)_j-O-R^{24}$, or $S(CH_2)_j-S-R^{24}$, wherein j and R^{24} are defined as in d);
- f) $C\equiv C-R^{25}$, $C\equiv C-OR^{25}$, or $C\equiv C-CO_2R^{25}$, wherein R^{25} is H, substituted or unsubstituted C(1-8) alkyl, aryl, substituted aryl, heteroaryl, or substituted heteroaryl;

- g) $\text{CH}=\text{CH}-\text{R}^{25}$, $\text{CH}=\text{CH}-\text{OR}^{25}$, or $\text{CH}=\text{CH}-\text{CO}_2\text{R}^{25}$, having a stereochemistry of E or Z, and R^{25} is defined as in f);
- h) $\text{C}\equiv\text{C}-\text{NR}^{25}\text{R}^{26}$ or $\text{C}\equiv\text{CCONR}^{25}\text{R}^{26}$, wherein R^{25} is defined as in f), and R^{26} is defined as R^{25} , and R^{25} and R^{26} are selected independently;
- 5 i) $\text{CH}=\text{CH}-\text{NR}^{25}\text{R}^{26}$ or $\text{CH}=\text{CHCONR}^{25}\text{R}^{26}$, having a stereochemistry of E or Z, wherein R^{25} and R^{26} are independently defined as in h);
- j) $(\text{CH}_2)_k\text{R}^{25}$, $(\text{CH}_2)_k-\text{COOR}^{25}$, or $(\text{CH}_2)_k-\text{OR}^{25}$, wherein k is an integer from 2 to 6 and R^{25} is defined as in f);
- 10 k) $(\text{CH}_2)_k\text{NR}^{25}\text{R}^{26}$, $(\text{CH}_2)_k\text{CONR}^{25}\text{R}^{26}$, wherein R^{25} and R^{26} are selected independently, and R^{25} and R^{26} are defined as R^{25} in f);
- l) $\text{CH}_2\text{XR}^{27}$, wherein X is O or S and R^{27} is H, substituted or unsubstituted C(1-8) alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl;
- R⁴ is selected from the group consisting of:
- m) H, substituted or unsubstituted C(1-8) alkyl
- 15 n)



wherein X=O, S, or NH, n=1 to 4, and R⁵¹ is H, R⁵² and R⁵³ are independently chosen from the group consisting of H, alkyl, substituted alkyl, arly, substituted aryl, heteroaryl, substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted

20 heteroalkyl, heteroaryl, or substituted heteroaryl ring system;

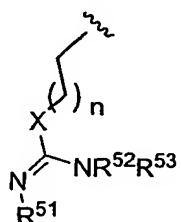
R⁵ is selected from the group consisting of:

o) a lone pair when X⁵ is N;

and when X⁵ is C, R⁵ is selected from the group consisting of:

p) H, substituted and unsubstituted C(1-8) alkyl:); or

25 q)



wherein X=O, S, or NH, n=1 to 4 and R⁵¹ is H, R⁵² and R⁵³ are independently chosen from the group consisting of H, substituted or unsubstituted C(1-8) alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system; or

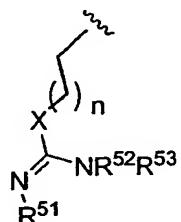
5 wherein in formula I, when A¹ and A², and B¹ and B², respectively combine to form oxygen, R¹-R³ and R⁵-R⁸ are H, and R⁴ is H or CH₃, at least one of X¹ - X⁹ represents a ring member other than carbon.

4. A compound according to claim 1 wherein X⁵ is C, X¹⁰ is CH and the bond
10 between X⁵ and X¹⁰ is a double bond.

5. A compound according to claim 1 wherein X⁵ is N, R⁵ is a lone pair, X¹⁰ is CH and the bond between X⁵ and X¹⁰ is a double bond.

15 6. A compound according to claim 1 wherein X⁵ is CH, R⁵ is H, X¹⁰ is CH₂ and the bond between X⁵ and X¹⁰ is a single bond.

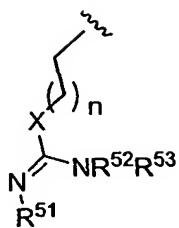
7. A compound according to claim 1 wherein R⁴ is



20 wherein X=O, S, or NH, n=1 to 4, and R⁵¹ is H, R⁵² and R⁵³ are independently chosen from the group consisting of H, alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system.

25 8. A compound according to claim 7 wherein R⁵¹ to R⁵³ are H.

9. A compound according to claim 4 wherein R⁴ is

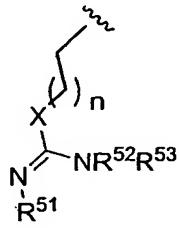


wherein X=O, S, or NH, n=1 to 4, and R⁵¹ is H, R⁵² and R⁵³ are independently chosen from the group consisting of H, alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system.

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10. A compound according to claim 9 wherein R⁵¹ to R⁵³ are H.

11. A compound according to claim 5 wherein R⁴ is



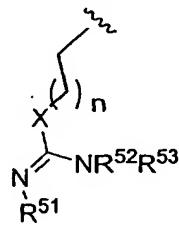
10

wherein X=O, S, or NH, n=1 to 4, and R⁵¹ is H, R⁵² and R⁵³ are independently chosen from the group consisting of H, alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system.

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12. A compound according to claim 11 wherein R⁵¹ to R⁵³ are H.

13. A compound according to claim 6 wherein R⁴ is



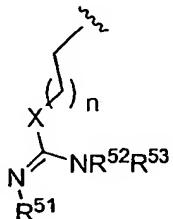
20. wherein X=O, S, or NH, n=1 to 4, and R⁵¹ is H, R⁵² and R⁵³ are independently chosen from the group consisting of H, alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl,

substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system.

14. A compound according to claim 13 wherein R⁵¹ to R⁵³ are H.

5

15. A compound according to claim 4 wherein R⁴ is methyl and R⁵ is



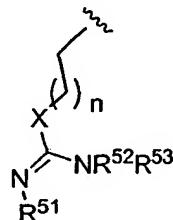
wherein X=O, S, or NH, n=1 to 4, and R⁵¹ is H, R⁵² and R⁵³ are independently chosen from the group consisting of H, alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl,

10 substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system.

16. A compound according to claim 15 wherein R⁵¹ to R⁵³ are H.

15 17. Compounds 143, 146, 147, 148, 149, 150, 151, 152, 153, 154, 155, 156, 159, 160, 166, 167, 168, 170.

18. A compound represented by formula I as defined in claim 2 wherein R⁴ is methyl, X⁵ is carbon and R⁵ is

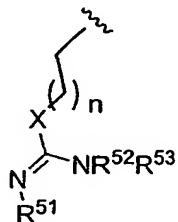


20 wherein X=O, S, or NH, n=1 to 4, and R⁵¹ is H, R⁵² and R⁵³ are independently chosen from the group consisting of H, alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system.

25

19. A compound according to claim 18 wherein R⁵¹-R⁵³ are H.

20. A compound represented by formula I as defined in claim 2 wherein R⁴ is



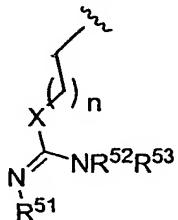
wherein X=O, S, or NH, n=1 to 4, and R⁵¹ is H, R⁵² and R⁵³ are independently chosen

5 from the group consisting of H, alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system; or

21. A compound according to claim 20 wherein R⁵¹⁻⁵³ are H.

10

22. A compound represented by formula II as defined in claim 3 wherein R⁴ is



wherein X=O, S, or NH, n=1 to 4, and R⁵¹ is H, R⁵² and R⁵³ are independently chosen

from the group consisting of H, alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl,

15 substituted heteroaryl, or R⁵¹ and R⁵² are combined to form a heteroalkyl, substituted heteroalkyl, heteroaryl, or substituted heteroaryl ring system.

23. A compound according to claim 22 wherein R⁵¹⁻⁵³ are H.

20 24. Compounds 167 and 168.

25. A method of treatment or prevention of a condition resulting from loss of growth and cellular differentiation control, as in cancer, by administration of an effective amount of a compound according to any one of claims 1 to 24 to a patient in need thereof.

25

26. The method of treatment according to claim 25, wherein said compound is combined with an anti-neoplastic, an anti-neurotoxic or an antisense compound.

27. A pharmaceutical composition comprising a pharmaceutically effective amount of
5 a compound according to any one of claims 1 to 24 in combination with a pharmaceutically acceptable carrier.

28. The pharmaceutical composition according to claim 27, additionally comprising an anti-neoplastic, an anti-neurotoxic, an anti-depressant or an antisense compound.

10

29. A method of treating cancer or inflammatory diseases comprising administering to a subject in need thereof a compound according to any one of claims 1 to 24.

30. Use of any one of compounds 133 to 142 and 169 as an anticancer agent.